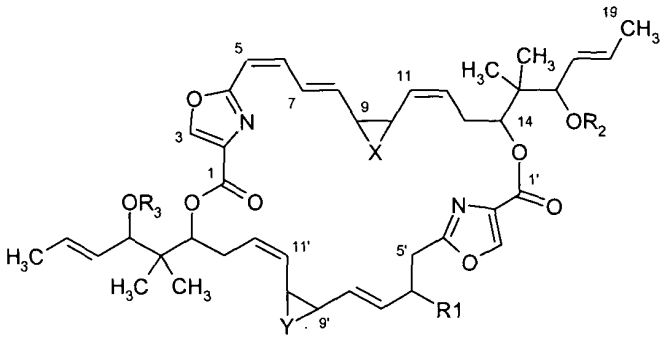
CLAIMS

What is claimed is:

1. A medicament containing at least one disorazole derivative of the general formula I



Formula I

in which independently of one another

R1 is:

(i) hydrogen

(i) OR4

(i) part of a double bond to C5'

R2, R3 and R4 are:

(i) hydrogen

(ii) unsubstituted or substituted (C_1-C_6)-alkyl,

(iii) (C_1-C_4)-alkyl substituted by one or more fluorine atoms, preferably a trifluoromethyl group,

(iv) unsubstituted or substituted (C_1-C_4)-alkyl-(C_6-C_{14})-aryl, unsubstituted or substituted (C_1-C_4)-alkyl-heteroaryl

(v) (C_1-C_4)-alkoxycarbonyl, (C_1-C_4)-alkylaminocarbonyl (C_1-C_4)-alkylaminothiocarbonyl, (C_1-C_6)-alkyl-carbonyl or (C_1-C_6)-alkoxycarbonyl-(C_1-C_6)-alkyl,

it being possible for the substitution of the alkyl radical by F, Cl, Br, I, CN, NH_2, NH-(C_1-C_{20})-alkyl, NH-(C_3-C_{12})-cycloalkyl, OH, O-(C_1-C_{20})-alkyl to take place singly or, on identical or different atoms, multiply by identical or different

substituents, and it being possible for the substitution of an aryl radical by F, Cl, Br, I, CN, NH_2, NH-(C_1-C_{20})-alkyl, OH, O-(C_1-C_{20})-alkyl and/or (C_3-C_8)-heterocyclyl having 1 to 5 heteroatoms, preferably nitrogen, oxygen, sulfur to take place singly or, on identical or different atoms, multiply by identical or different substituents,

and

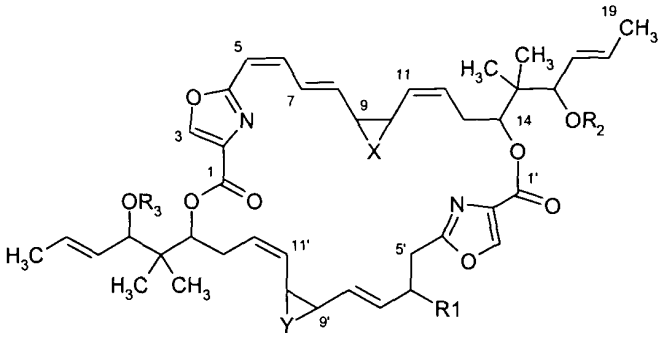
X, Y are: in each case individually independently of one another or together oxygen, sulfur, two vicinal hydroxyl groups, two vicinal methoxy groups, part of a double bond,

a compound being excluded in which R1 is methoxy, R2, R3 are hydrogen, X is oxygen and Y is the part of a double bond,

its tautomers, E/Z isomers, stereoisomers, including the diastereomers and enantiomers, and the physiologically tolerable salts thereof.

2. The medicament as claimed in claim 1, containing the disorazole derivative and pharmaceutically utilizable carriers and/or diluents and excipients in the form of solutions, suspensions, emulsions, foams, ointments, pastes, patches or implants for administration.

3. The use of disorazole derivatives of the general formula I



Formula 1

in which independently of one another

R1 is:

(i) hydrogen

(ii) OR4

(iii) part of a double bond to C5'

R2, R3 and R4 are:

(i) hydrogen

(ii) unsubstituted or substituted (C_1-C_6)-alkyl,

(iii) (C_1-C_4)-alkyl substituted by one or more fluorine atoms, preferably a trifluoromethyl group,

(iv) unsubstituted or substituted (C_1-C_4)-alkyl-(C_6-C_{14})-aryl, unsubstituted or substituted (C_1-C_4)-alkyl-heteroaryl,

(v) $(C_1\text{-}C_4)$-alkoxycarbonyl, $(C_1\text{-}C_4)$-alkylaminocarbonyl $(C_1\text{-}C_4)$-alkylaminothiocarbonyl, $(C_1\text{-}C_6)$-alkyl-carbonyl or $(C_1\text{-}C_6)$-alkoxycarbonyl-$(C_1\text{-}C_6)$-alkyl,

it being possible for the substitution of the alkyl radical by F, Cl, Br, I, CN, NH_2, NH-$(C_1\text{-}C_{20})$-alkyl, NH-$(C_3\text{-}C_{12})$-cycloalkyl, OH, O-$(C_1\text{-}C_{20})$-alkyl to take place singly or, on identical or different atoms, multiply by identical or different substituents, and it being possible for the substitution of an aryl radical by F, Cl, Br, I, CN, NH_2, NH-$(C_1\text{-}C_{20})$-alkyl, OH, O-$(C_1\text{-}C_{20})$-alkyl and/or $(C_3\text{-}C_8)$-heterocyclyl having 1 to 5 heteroatoms, preferably nitrogen, oxygen, sulfur to take place singly or, on identical or different atoms, multiply by identical or different substituents,

and

X, Y are: in each case individually independently of one another or together oxygen, sulfur, two vicinal hydroxyl groups, two vicinal methoxy groups, part of a double bond,

a compound being excluded in which R1 is methoxy, R2, R3 are hydrogen, X is oxygen and Y is the part of a double bond,

its tautomers, E/Z isomers, stereoisomers, including the diastereomers and enantiomers, and the physiologically tolerable salts thereof,

for the production of a medicament for the treatment of benign or malignant oncoses in humans or animals.

4. The use of disorazole derivatives of the general formula I as claimed in claim 3 for the treatment of oncoses alone or in combination with cytotoxic substances and/or inhibitors of signal transduction.

5. The use of disorazole derivatives of the general formula I for the production of a medicament for the treatment of a disease in humans or animals which is based on the rapid and uncontrolled proliferation of endogenous cells.

6. The use of disorazole derivatives of the general formula I for the production of a medicament for the treatment of diseases which respond to immunomodulatory action, such as psoriasis, arteriosclerosis, arthritis, keratosis, muliple sclerosis and cancer.

7. The use of disorazole derivatives of the general formula I for the production of a medicament for the treatment of infective diseases, such as cachexia, malaria, AIDS and infection-related fever and pain.

8. The use of disorazole derivatives of the general formula I for the production of a medicament for the treatment of inflammatory and allergic diseases, inflammations mediated by eosinophils or proliferative diseases such as airway diseases, bronchial asthma, allergic rhinitis, allergic conjunctivitis, eczema and Crohn's disease.

9. The use of the disorazole derivative E1 of the general formula I, in which R1 and R2 are hydrogen, R3 is methyl and X and Y are oxygen, as claimed in claim 3, for the production of a medicament for the treatment of benign or malignant oncoses in humans or animals.

10. The use of a disorazole derivative of the general formula I as claimed in claim 9 for the production of a medicament for the treatment of breast cancer, ovarian cancer, lung cancer, skin cancer, prostate cancer, renal cell cancer, hepatic cancer, pancreatic cancer, colonic cancer and cancers of the brain in humans.

11. The use of a disorazole derivative of the general formula I as claimed in claim 9 for the production of a medicament for the treatment of benign or malignant oncoses in humans or animals in combination with other antitumor agents.

12. The use of a disorazole derivative of the general formula I as claimed in claim 9 for the production of a medicament for the treatment of benign or malignant oncoses in humans or animals in combination with paclitaxel, docetaxel, vincristine, vindesine, cisplatin, carboplatin, doxorubicin, ifosfamide, cyclophosphamide, 5-FU, methotrexate or in combination with immunomodulators or antibodies and in particular in combination with inhibitors of signal transduction such as Herceptin, Glivec or Iressa and others.

13. The use of a disorazole derivative of the general formula I as claimed in claim 10 for the production of a medicament for the treatment of benign or malignant oncoses in humans or animals in combination with other antitumor agents.

14. The use of a disorazole derivative of the general formula I as claimed in claim 10 for the production of a medicament for the treatment of benign or malignant oncoses in humans or animals in combination with paclitaxel, docetaxel, vincristine, vindesine, cisplatin, carboplatin, doxorubicin, ifosfamide, cyclophosphamide, 5-FU, methotrexate or in combination with immunomodulators or antibodies and in particular in combination with inhibitors of signal transduction such as Herceptin, Glivec or Iressa and others.

15. The use of a disorazole derivative of the general formula I as claimed in claim 11 for the production of a medicament for the treatment of benign or malignant oncoses in humans or animals in combination with paclitaxel, docetaxel, vincristine, vindesine, cisplatin, carboplatin, doxorubicin, ifosfamide, cyclophosphamide, 5-FU, methotrexate or in combination with immunomodulators or antibodies and in particular in combination with inhibitors of signal transduction such as Herceptin, Glivec or Iressa and others.